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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner #: 59193 Date: 2/22/06.

Art Unit: 1624 Phone Number: 2- 0663 Serial Number: 10821695

Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): (PAPER) DISK

****

dlw11103183

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

Inventors (please provide full names): _____

_____

Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

All nofs to

Amorphous Cefdinir

1618
1614

****

STAFF USE ONLY

Searcher: Mary

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: 3/1

Searcher Prep & Review Time: _____

Online Time: 4

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

_____ Structure (#) ✓

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

132.00 STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

___ In-house sequence systems

___ Commercial ___ Oligomer ___ Score/Length

___ Interference ___ SPDI ___ Encode/Transl

_____ Other (specify)

Bench
10/821695


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=> fil reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 16:14:56 ON 01 MAR 2006
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STRUCTURE FILE UPDATES:   28 FEB 2006  HIGHEST RN 875516-18-0
DICTIONARY FILE UPDATES:  28 FEB 2006  HIGHEST RN 875516-18-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from  *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> e amorphous cefdinir/cn 5
E1            1      AMORPHOPHALLUS RIVIERI, EXT./CN
E2            1      AMORPHOUS ACONITINE/CN
E3            0 --> AMORPHOUS CEFDINIR/CN
E4            2      AMORPHOUS SILICA/CN
E5            1      AMORPHOUS SILICA FUME/CN

=> fil medline;e amorphous cefdinir/ct 5
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.88       1.09

FILE 'MEDLINE' ENTERED AT 16:16:12 ON 01 MAR 2006

 FILE LAST UPDATED: 28 FEB 2006 (20060228/UP).  FILE COVERS 1950 TO DATE.

 On December 11, 2005, the 2006 MeSH terms were loaded.


Prepared by: Mary Hale @2-2507 Rem Bldg 1D86
```

The MEDLINE reload for 2006 is now (26 Feb.) available. For details on the 2006 reload, enter HELP RLOAD at an arrow prompt (=>). See also:

http://www.nlm.nih.gov/mesh/
http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html
http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.html
http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html

OLDMEDLINE is covered back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
E#    FREQUENCY     AT      TERM
--    ---------     --      ----
E1            1             AMORPHOPHALLUS: ME, METABOLISM/CT
E2            1             AMORPHOPHALLUS: PH, PHYSIOLOGY/CT
E3            0         --> AMORPHOUS CEFDINIR/CT
E4            0      2      AMOSITE/CT
E5            0      2      AMOSITE ASBESTOS/CT

=> fil biosis
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.39       1.48

FILE 'BIOSIS' ENTERED AT 16:16:17 ON 01 MAR 2006
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FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 22 February 2006 (20060222/ED)

=> e amorphous cefdinir/ct 5
E#    FREQUENCY     AT      TERM
--    ---------     --      ----
E1            1             AMORPHOUS CARBONATED CALCIUM PHOSPHATE/CT
E2            1             AMORPHOUS CDTR-PI/CT
E3            0         --> AMORPHOUS CEFDINIR/CT
E4            2             AMORPHOUS CEFDITOREN PIVOXIL/CT
E5            1             AMORPHOUS CEFDITOREN PIVOXIL SUBSTANCE/CT

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.87       2.35

FILE 'CAPLUS' ENTERED AT 16:16:29 ON 01 MAR 2006
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Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

FILE COVERS 1907 - 1 Mar 2006 VOL 144 ISS 10
FILE LAST UPDATED: 28 Feb 2006 (20060228/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

```
=> e amorphous cefdinir/ct 5
E#   FREQUENCY    AT     TERM
--   ---------    --     ----
E1           0     2     AMORPHOUS ALUMINUM ALLOYS/CT
E2           0     2     AMORPHOUS ALUMINUM-BASED ALLOYS/CT
E3           0       --> AMORPHOUS CEFDINIR/CT
E4           0     2     AMORPHOUS COBALT ALLOYS/CT
E5           0     2     AMORPHOUS COBALT-BASED ALLOYS/CT

=> fil reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                  ENTRY    SESSION
FULL ESTIMATED COST                                0.46       2.81

FILE 'REGISTRY' ENTERED AT 16:16:36 ON 01 MAR 2006
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STRUCTURE FILE UPDATES:   28 FEB 2006  HIGHEST RN 875516-18-0
DICTIONARY FILE UPDATES:  28 FEB 2006  HIGHEST RN 875516-18-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from  *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************
```

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

```
=> e cefdinir/cn 5
E1            1     CEFCLIDINE/CN
E2            1     CEFDALOXIME/CN
E3            1 --> CEFDINIR/CN
E4            1     CEFDINIR DICYCLOHEXYLAMINE SALT/CN
E5            1     CEFDINIR MONOHYDRATE/CN

=> e
E6            1     CEFDINIR NITRATE/CN
E7            1     CEFDINIR PHOSPHATE/CN
E8            1     CEFDITERON/CN
E9            1     CEFDITOREN/CN
E10           1     CEFDITOREN ACID/CN
E11           1     CEFDITOREN NITRATE/CN
E12           1     CEFDITOREN PIVALOYLOXYMETHYL ESTER/CN
E13           1     CEFDITOREN PIVOXIL/CN
E14           1     CEFDITOREN PIVOXYL/CN
E15           1     CEFDITOREN POTASSIUM/CN
E16           1     CEFDITOREN POTASSIUM DIHYDRATE/CN
E17           1     CEFDITOREN POTASSIUM MONOHYDRATE/CN

=> s e3-e7
              1 CEFDINIR/CN
              1 "CEFDINIR DICYCLOHEXYLAMINE SALT"/CN
              1 "CEFDINIR MONOHYDRATE"/CN
              1 "CEFDINIR NITRATE"/CN
              1 "CEFDINIR PHOSPHATE"/CN
L1            5 (CEFDINIR/CN OR "CEFDINIR DICYCLOHEXYLAMINE SALT"/CN OR "CEFDINI
                R MONOHYDRATE"/CN OR "CEFDINIR NITRATE"/CN OR "CEFDINIR PHOSPHAT
               E"/CN)

=> fil medl,biosis,embase,caplus;s l1 or l1<chem>
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              24.24      27.05

FILE 'MEDLINE' ENTERED AT 16:17:14 ON 01 MAR 2006

FILE 'BIOSIS' ENTERED AT 16:17:14 ON 01 MAR 2006
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FILE 'CAPLUS' ENTERED AT 16:17:14 ON 01 MAR 2006
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Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.15	30.20

FILE 'REGISTRY' ENTERED AT 16:17:14 ON 01 MAR 2006
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SET SMARTSELECT ON
SET COMMAND COMPLETED

SEL L1 1- CHEM
L2 SEL L1 1- CHEM : 15 TERMS

SET SMARTSELECT OFF
SET COMMAND COMPLETED

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.29	41.49

FILE 'MEDLINE' ENTERED AT 16:17:15 ON 01 MAR 2006

FILE 'BIOSIS' ENTERED AT 16:17:15 ON 01 MAR 2006

FILE 'EMBASE' ENTERED AT 16:17:15 ON 01 MAR 2006

FILE 'CAPLUS' ENTERED AT 16:17:15 ON 01 MAR 2006
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

S L1 OR L2

L8	275	FILE MEDLINE
L9	389	FILE BIOSIS
L10	921	FILE EMBASE
L11	503	FILE CAPLUS

TOTAL FOR ALL FILES
L12 2088 L1 OR L7

=> s l12(l)amorphous

L13	0	FILE MEDLINE
L14	0	FILE BIOSIS
L15	0	FILE EMBASE
L16	4	FILE CAPLUS

TOTAL FOR ALL FILES

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

L17 4 L12(L) AMORPHOUS

=> d 1-4 ibib abs hitstr

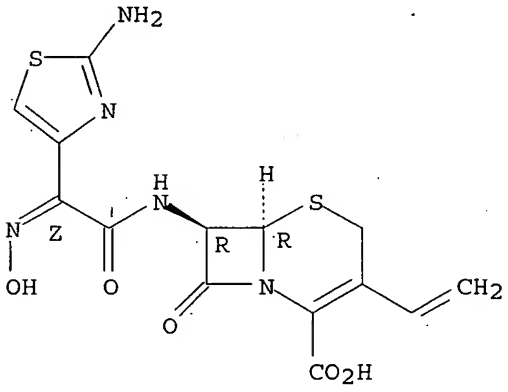
L17 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:122978 CAPLUS
TITLE: Preparation of stable **amorphous cefdinir**
INVENTOR(S): Sever, Nancy E.; Law, Devalina
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 18 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English.
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006029674	A1	20060209	US 2005-103183		20050411
PRIORITY APPLN. INFO.:			US 2004-560957P	P	20040409

AB The present invention relates to prepns. of stable **amorphous cefdinir** (7-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamide]-3-vinyl-3-cephem-4-carboxylic acid, syn isomer), methods for its preparation, and pharmaceutical compns. comprising the same. **Amorphous cefdinir** was isolated by evaporating a methanolic solution of **cefdinir** hydrate. The **amorphous** material was phys. stable.

IT **213978-34-8, Cefdinir monohydrate**
RL: RCT (Reactant); RACT (Reactant or reagent)
(stable **amorphous cefdinir**)
RN 213978-34-8 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monohydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



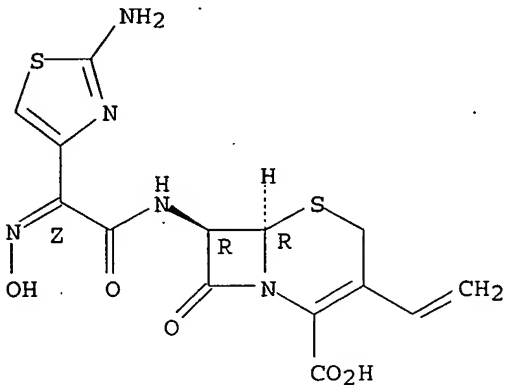
● H_2O

IT **91832-40-5, Cefdinir**

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stable **amorphous cefdinir**)
RN 91832-40-5 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-
, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

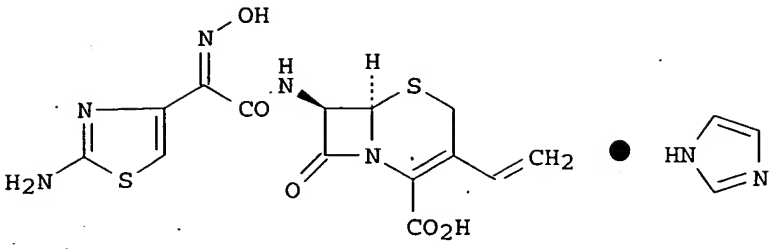


L17 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:100935 CAPLUS
DOCUMENT NUMBER: 144:170819
TITLE: Cefdinir polymorphic forms, and imidazole salt
INVENTOR(S): Jaweed Mukarram, Siddiqui Mohammed; Khan, Rashid Abdul Rehman; Mane, Avinash Seshrao
PATENT ASSIGNEE(S): Wockhardt Limited, India
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO:	DATE
WO 2006010978	A1	20060202	WO 2004-IB2171	20040630

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2004-IB2171 20040630
GI



I

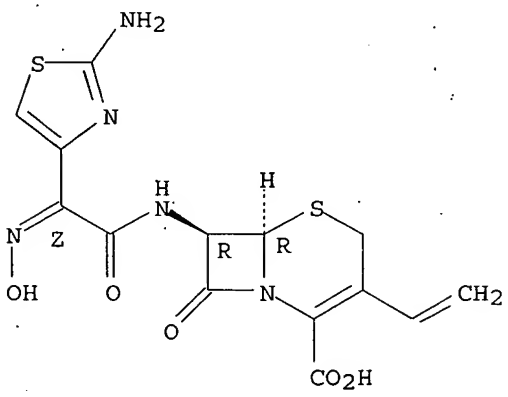
AB A new crystalline **Cefdinir** imidazole salt (I) and polymorphic forms C, D and an **amorphous** form of **Cefdinir** were disclosed.

IT **91832-40-5P, Cefdinir**
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of the **Cefdinir** imidazole salt and **amorphous** and polymorphic crystalline forms C and D of **Cefdinir**, a β-lactam antibiotic)

RN 91832-40-5 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1154562 CAPLUS
DOCUMENT NUMBER: 143:427351
TITLE: Preparation of stable **amorphous cefdinir**
INVENTOR(S): Server, Nancy E.; Law, Devalina
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005100368	A2	20051027	WO 2005-US12439	20050411

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-821695 A 20040409

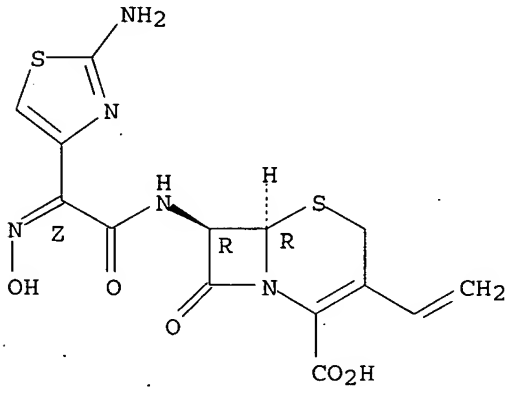
AB The present invention relates to stable **amorphous cefdinir** (syn isomer), methods for its preparation, and pharmaceutical compns. comprising the stable **amorphous** form. **Amorphous cefdinir** was characterized with Eudragit EPO.

IT **213978-34-8P, Cefdinir monohydrate**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of stable **amorphous cefdinir**)

RN 213978-34-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monohydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



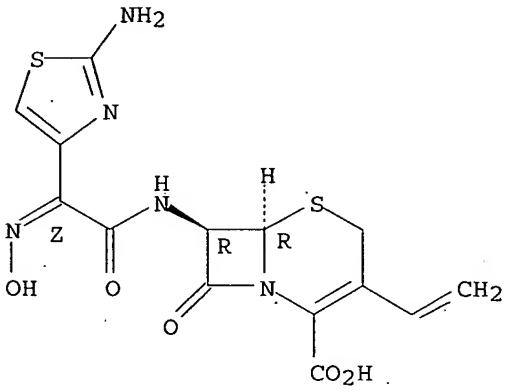
● H_2O

IT **91832-40-5P, Cefdinir**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of stable **amorphous cefdinir**)

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

RN 91832-40-5 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

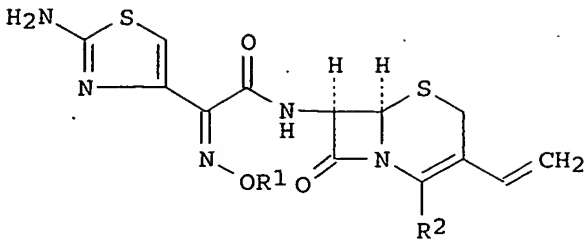
Absolute stereochemistry.
Double bond geometry as shown.



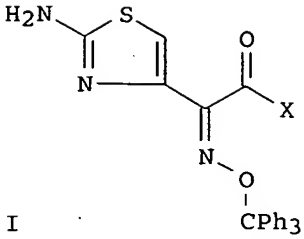
L17 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:453223 CAPLUS
DOCUMENT NUMBER: 141:6966
TITLE: Process for preparing **cefdinir** and its **amorphous** hydrate
INVENTOR(S): Deshpande, Pandurang Balwant; Khadangale, Bhausaheb Pandharinath; Ramasubbu, Chandrasekaran
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd., India
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046154	A1	20040603	WO 2003-IB5032	20031110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: IN 2002-MA848 A 20021115
IN 2003-MA152 A 20030226
OTHER SOURCE(S): CASREACT 141:6966; MARPAT 141:6966
GI



I



III

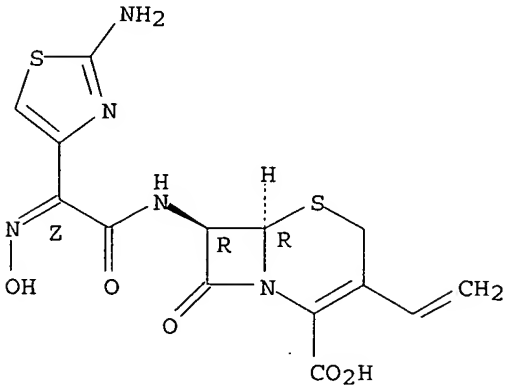
AB The present invention discloses a process for preparing cefdinir [I; R1 = H; R2 = CO2H (II)] and its monohydrate via condensing 7-amino-3-cephem-4-carboxylic acid with III (X = ester, thioester, halo, etc.) in the presence of a tertiary amine and an organic solvent, followed by treatment with a base to produce I [R1 = C(Ph)3; R2 = carboxylate ion (IV)], and hydrolyzing IV, using an acid in the presence of a solvent, to produce II. Thus, reaction between III (X = OH) and 2-mercapto-5-phenyl-1,3,4-oxadiazole yielded 2-mercapto-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino) acetate, which, on condensation with 7-amino-3-vinyl-3-cephem-4-carboxylic acid and subsequent hydrolysis, afforded II.

IT **91832-40-5P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **cefdinir** and its **amorphous** hydrate)

RN 91832-40-5 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



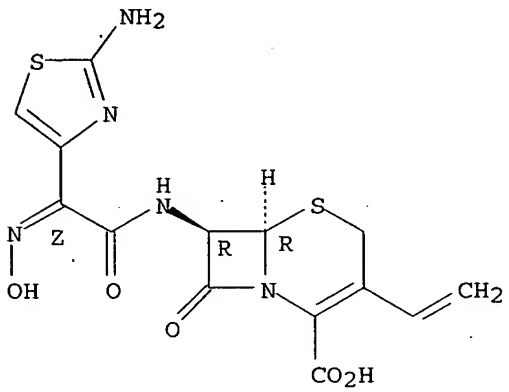
IT **213978-34-8P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of **cefdinir** and its **amorphous** hydrate)

RN 213978-34-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monohydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

Absolute stereochemistry.
Double bond geometry as shown.



● H_2O

=> fil wpids;s l1 or cefdinir?

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	70.69	112.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.00	-3.00

FILE 'WPIDS' ENTERED AT 16:18:16 ON 01 MAR 2006

FILE LAST UPDATED: 27 FEB 2006 <20060227/UP>
MOST RECENT DERWENT UPDATE: 200614 <200614/DW>
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Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

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PLEASE CHECK:
http://scientific.thomson.com/support/patents/dwpiref/reftools/classification

>>> PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE
http://www.stn-international.de/stndatabases/details/ipc_reform.html and
http://scientific.thomson.com/media/scpdf/ipcrdwpi.pdf <<<

```
             1 CEFDINIR/CN
             0 "CEFDINIR DICYCLOHEXYLAMINE SALT"/CN
             0 "CEFDINIR MONOHYDRATE"/CN
             0 "CEFDINIR NITRATE"/CN
             0 "CEFDINIR PHOSPHATE"/CN
            68 CEFDINIR?
L18         69 L1 OR CEFDINIR?

=> s l18 and amorphous
         59099 AMORPHOUS
L19          4 L18 AND AMORPHOUS

=> d 1-4

L19  ANSWER 1 OF 4  WPIDS  COPYRIGHT 2006 THE THOMSON CORP on STN
AN   2006-136793 [14]   WPIDS
DNC  C2006-047045
TI   New imidazole salt of syn-7-(2-(2-amino-4-thiazolyl)-2-hydroxyimino-
     acetamido)-3-vinyl-3-cephem-4-carboxylic acid (Cefdinir),
     crystalline form C and D and amorphous form of Cefdinir
     useful for treating bacterial infections.
DC   B02
IN   JAWEED MUKARRAM, S M; KHAN, R A R; MANE, A S
PA   (MUKA-I) JAWEED MUKARRAM S M; (KHAN-I) KHAN R A R; (MANE-I) MANE A S;
     (WOCK-N) WOCKHARDT LTD
CYC  108
PI   WO 2006010978   A1 20060202 (200614)* EN   33    C07D501-22
        RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE
            LS LU MC MW MZ NA NL OA PL PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW
         W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE
            DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG
            KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ
            OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG
            US UZ VC VN YU ZA ZM ZW
ADT  WO 2006010978 A1 WO 2004-IB2171 20040630
PRAI WO 2004-IB2171       20040630
IC   ICM  C07D501-22
     ICS  C07D501-04

L19  ANSWER 2 OF 4  WPIDS  COPYRIGHT 2006 THE THOMSON CORP on STN
AN   2005-778983 [79]   WPIDS
DNC  C2005-238762
TI   New stable amorphous cefdinir used to treat bacterial
     infections.
DC   A11 A14 A96 B03
IN   LAW, D; SERVER, N E
PA   (ABBO) ABBOTT LAB
CYC  110
PI   WO 2005100368   A2 20051027 (200579)* EN   27    C07D501-00
        RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IS IT
            KE LS LT LU MC MW MZ NA NL OA PL PT RO SD SE SI SK SL SZ TR TZ UG
```

ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE
DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG
KM KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO
NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SM SY TJ TM TN TR TT TZ
UA UG US UZ VC VN YU ZA ZM ZW
ADT WO 2005100368 A2 WO 2005-US12439 20050411
PRAI US 2004-821695 20040409
IC ICM C07D501-00

L19 ANSWER 3 OF 4 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN
AN 2004-449732 [42] WPIDS
DNC C2004-168548
TI Preparation of **cefdinir**, useful as an antibiotic, comprises reaction of 7-amino-3-cephem-4-carboxylic acid with 5-amino-thiazine derivative, tertiary amine and an organic solvent, followed by treatment with a base and hydrolysis by an acid.
DC B02
IN DESHPANDE, P B; KHADANGALE, B P; RAMASUBBU, C
PA (ORCH-N) ORCHID CHEM & PHARM LTD
CYC 106
PI WO 2004046154 A1 20040603 (200442)* EN 26 C07D501-06
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS
LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE
DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP
KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PG
PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US UZ
VC VN YU ZA ZM ZW
AU 2003276525 A1 20040615 (200470) C07D501-06
ADT WO 2004046154 A1 WO 2003-IB5032 20031110; AU 2003276525 A1 AU 2003-276525 20031110
FDT AU 2003276525 A1 Based on WO 2004046154
PRAI IN 2003-CH152 20030226; IN 2002-CH848 20021115
IC ICM C07D501-06
ICS C07D501-22

L19 ANSWER 4 OF 4 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN
AN 2002-586515 [63] WPIDS
CR 2001-343157 [36]
DNC C2002-166020
TI Manufacture of 3-cephem derivative crystal as pharmaceutical intermediates e.g., cefixime, involves crystallizing **amorphous** powder or oily substance of 3-cephem derivative using poor solvent containing carbon dioxide.
DC B02
PA (SAKB) OTSUKA KAGAKU YAKUHIN KK
CYC 1
PI JP 2002145885 A 20020522 (200263)* 8 C07D501-12
ADT JP 2002145885 A JP 2000-290561 20000925
PRAI JP 2000-267059 20000904; JP 1999-279080 19990930
IC ICM C07D501-12
ICS C07D501-20; C07D501-24; C07D501-59

=> dis his

(FILE 'HOME' ENTERED AT 16:14:35 ON 01 MAR 2006)

FILE 'REGISTRY' ENTERED AT 16:14:56 ON 01 MAR 2006

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                E AMORPHOUS CEFDINIR/CN 5

     FILE 'MEDLINE' ENTERED AT 16:16:12 ON 01 MAR 2006
                E AMORPHOUS CEFDINIR/CT 5

     FILE 'BIOSIS' ENTERED AT 16:16:17 ON 01 MAR 2006
                E AMORPHOUS CEFDINIR/CT 5

     FILE 'CAPLUS' ENTERED AT 16:16:29 ON 01 MAR 2006
                E AMORPHOUS CEFDINIR/CT 5

     FILE 'REGISTRY' ENTERED AT 16:16:36 ON 01 MAR 2006
                E CEFDINIR/CN 5
L1              5 S E3-E7

     FILE 'MEDLINE, BIOSIS, EMBASE, CAPLUS' ENTERED AT 16:17:14 ON 01 MAR 2006

     FILE 'REGISTRY' ENTERED AT 16:17:14 ON 01 MAR 2006
                SET SMARTSELECT ON
L2            SEL L1 1- CHEM :       15 TERMS
                SET SMARTSELECT OFF

     FILE 'MEDLINE, BIOSIS, EMBASE, CAPLUS' ENTERED AT 16:17:15 ON 01 MAR 2006
L3            275 FILE MEDLINE
L4            389 FILE BIOSIS
L5            921 FILE EMBASE
L6            503 FILE CAPLUS
     TOTAL FOR ALL FILES
L7           2088 S L2
L8            275 FILE MEDLINE
L9            389 FILE BIOSIS
L10           921 FILE EMBASE
L11           503 FILE CAPLUS
     TOTAL FOR ALL FILES
L12          2088 S L1 OR L7
L13             0 FILE MEDLINE
L14             0 FILE BIOSIS
L15             0 FILE EMBASE
L16             4 FILE CAPLUS
     TOTAL FOR ALL FILES
L17             4 S L12(L)AMORPHOUS

     FILE 'WPIDS' ENTERED AT 16:18:16 ON 01 MAR 2006
L18            69 S L1 OR CEFDINIR?
L19             4 S L18 AND AMORPHOUS

=> log y
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              19.88     132.06

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                               0.00      -3.00

STN INTERNATIONAL LOGOFF AT 16:18:51 ON 01 MAR 2006
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